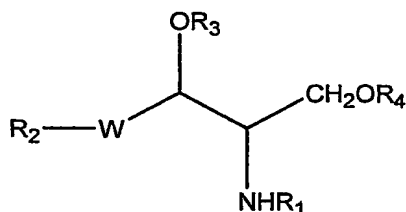


CLAIMS:

1. A compound of formula (I):



wherein

- 5 R_1 represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group $-\text{C}(\text{O})\text{R}_5$;

R_2 and R_5 represent, independently, a branched or linear $\text{C}_{10}\text{-C}_{24}$ alkyl, alkenyl or polyenyl groups;

- 10 R_3 and R_4 are independently a group $-\text{C}(\text{O})\text{-NR}_6\text{R}_7$, R_6 and R_7 being the same or different for R_3 and R_4 and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or R_3 is a hydrogen; or

- 15 R_3 and R_4 form together with the oxygen atoms to which they are bound a heterocyclic ring comprising $-\text{C}(\text{O})\text{-NR}_9\text{-}[\text{R}_8\text{-NR}_9]_m\text{-C}(\text{O})-$, R_8 represents a saturated or unsaturated $\text{C}_1\text{-C}_4$ alkyl and R_9 represents a hydrogen or a polyalkylamine of the formula $-\text{R}_8\text{-NR}_9]_n-$, wherein said R_9 or each alkylamine unit R_8NR_9 may be the same or different in said polyalkylamine; and

n and m , represent independently an integer from 1 to 10;

- 20 W represents a group selected from $-\text{CH}=\text{CH}-$, $-\text{CH}_2\text{-CH}(\text{OH})-$ or $-\text{CH}_2\text{-CH}_2-$.

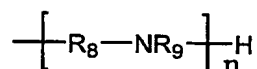
2. The compound of Claim 1, wherein R_1 represents a $-\text{C}(\text{O})\text{R}_5$ group, R_5 being as defined.

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3. The compound of Claim 1 or 2, wherein said R_2 and R_5 represent, independently, a linear or branched C_{12} - C_{18} alkyl or alkenyl groups.

4. The compound of any one of Claims 1 to 3, wherein W represents $-\text{CH}=\text{CH}-$.

5. The compound of Claim 1, wherein R_1 represents a $-\text{C}(\text{O})R_5$ group; R_5 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; W represents $-\text{CH}=\text{CH}-$; R_2 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; R_3 and R_4 represent, independently, a group $\text{C}(\text{O})-\text{NR}_6\text{R}_7$, and R_3 may also represent a hydrogen, wherein R_6 and R_7 represent, independently, a hydrogen or a polyalkylamine having the general formula (II):



wherein

R_8 represent a C_1 - C_4 alkyl;

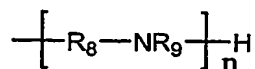
R_9 represents a hydrogen or a polyalkylamine branch of formula (II), said R_8 and R_9 may be the same or different for each alkylamine unit, $-\text{R}_8\text{NR}_9-$, in the polyalkylamine of formula (II); and

n represents an integer from 3 to 6.

6. The compound of Claim 5, wherein R_3 is a hydrogen atom.

7. The compound of Claim 5, wherein both R_3 and R_4 represent the same or different polyalkylamine as defined in claim 1.

8. The compound of Claim 1, wherein R_1 represents a $-\text{C}(\text{O})R_5$ group; R_5 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; W represents $-\text{CH}=\text{CH}-$; R_2 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; R_3 and R_4 represent independently a group $\text{C}(\text{O})-\text{NR}_6\text{R}_7$, wherein R_6 and R_7 represent, independently, an alkylamine or a polyalkylamine having the general formula (II):



wherein

R_8 represent a C_1 - C_4 alkyl;

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R_9 represents a hydrogen or a polyalkylamine branch of formula (II), said R_8 and R_9 may be the same or different for each alkylamine unit, $-R_8NR_9-$, in the polyalkylamine of formula (II); and

n represents an integer from 3 to 6.

- 5 9. The compound of Claim 1, wherein R_1 represents a $C(O)R_5$ group; R_5 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; W represents $-CH=CH-$; R_2 represents a C_{12} - C_{18} linear or branched alkyl or alkenyl; R_3 and R_4 form together with the oxygen atoms to which they are bonded a heterocyclic ring comprising $-C(O)-[NH-R_8]_n-NH-C(O)-$,

10 wherein

R_8 represents a C_1 - C_4 alkyl, wherein for each alkylamine unit $-NH-R_8-$, said R_8 may be the same or different; and

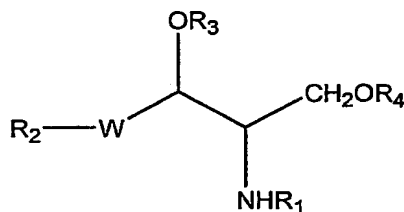
n represents an integer from 3 to 6.

- 10 10. The compound of any one of Claims 5 to 9, wherein said R_8 is a C_3 -
15 C_4 alkyl.

11. The compound of Claim 1, being N-palmitoyl D-erythro sphingosyl-1-carbamoyl spermine.

12. The compound of Claim 1, having the chemical structure as depicted in Fig. 2C.

- 20 13. A process for the preparation of a sphingoid-polyalkylamine conjugate of formula (I)



wherein

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R_1 represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group $-C(O)R_5$;

R_2 and R_5 represent, independently, a branched or linear C_{10} - C_{24} alkyl, alkenyl or polyenyl groups;

5 R_3 and R_4 are independently a group $-C(O)-NR_6R_7$, R_6 and R_7 being the same or different for R_3 and R_4 and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or

R_3 represents a hydrogen; or

10 R_3 and R_4 form together with the oxygen atoms to which they are bound a heterocyclic ring comprising $-C(O)-NR_9-[R_8-NR_9]_m-C(O)-$, R_8 represents a saturated or unsaturated C_1 - C_4 alkyl and R_9 represents a hydrogen or a polyalkylamine of the formula $-[R_8-NR_9]_n-$, wherein said R_9 or each alkylamine unit R_8NR_9 may be the same or different in said polyalkylamine; and

15 n and m represent independently an integer from 1 to 10;

W represents a group selected from $-CH=CH-$, $-CH_2-CH(OH)-$ or $-CH_2-CH_2-$;

the process comprises:

- (a) providing a sphingoid compound of formula (I) wherein R_1 , R_2 and W have the meaning as defined above and R_3 and R_4 represent, independently, a hydrogen atom or an oxo protecting group, wherein at least one of said R_3 and R_4 represent a hydrogen atom;
- (b) reacting said compound of step (a) with an activating agent, optionally in the presence of a catalyst, to obtain an activated R_3 and/or R_4 group;
- 25 (c) reacting said activated sphingoid compound with a polyalkylamine;
- (d) removing said protecting group thereby obtaining said sphingoid-polyalkylamine conjugate of formula (I) as defined above.

14. The process of Claim 13, wherein said sphingoid-polyalkylamine conjugate is as defined in any one of Claims 1 to 12.

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15. The process of Claim 13 or 14, wherein said protecting group is a primary amine protecting group selected from trifluoroacetamide, fmoc, carbobenzoxy (CBZ), dialkyl Phosphoramidates.

16. The process of any one of Claims 13 to 15, wherein said activating agent is selected from N,N'-disuccinimidylcarbonate, di- or tri-phosgene or an imidazole derivative.

17. The process of any one of Claims 13 to 16, wherein said activation is performed in the presence of a catalyst, the catalyst being selected from 4-dimethylamino pyridine (DMAP), tetrazole, dicyanoimidazole or diisopropylethylamine.

18. The process of any one of Claims 13 to 17, for obtaining a di-substituted sphingoid-polyalkylamine conjugate, wherein

in step (a) both R₃ and R₄ are hydrogen atoms, and said process comprises reacting the compound of formula (I) with at least two equivalents of polyalkylamine to obtain a disubstituted sphingoid-polyalkylamine conjugate, with identical polyalkylamine substituents.

19. The process of any one of Claims 13 to 17, for obtaining a di-substituted sphingoid-polyalkylamine conjugate, wherein

in step (a) at least one of R₃ or R₄ is protected with a protecting group, the process comprises reacting in step (c) the activated sphingoid compound with a first polyalkylamine; removing the protecting group of R₃ or R₄ to obtain an unprotected oxo group; reacting the unprotected compound with an activating agent to obtain an activated mono-substituted sphingoid-polyalkylamine conjugate; and reacting said activated mono-substituted sphingoid-polyalkylamine conjugate with a second polyalkylamine, thereby obtaining a di-substituted sphingoid-polyalkylamine conjugate, said first and second polyalkylamine may be the same or different.

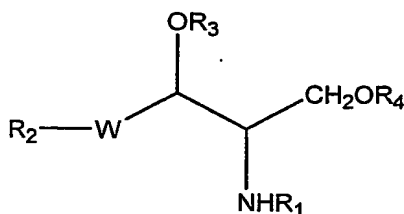
20. The process of any one of Claims 13 to 17, for obtaining a heterocyclic sphingoid-polyalkylamine conjugate, wherein

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in step (a) both R_3 and R_4 are hydrogen atoms, said sphingoid compound is reacted with at least two equivalents of an activating agent to obtain an activated sphingoid with both R_3 and R_4 activated and reacting said activated sphingoid compound with less than an equivalent of polyalkylamine, thereby obtaining a heterocyclic sphingoid-polyalkylamine conjugate.

21. The process of any one of Claims 13 to 20, for obtaining any one of the sphingoid-polyalkylamine conjugates depicted in Figs. 1A to 1D.

22. A pharmaceutical composition comprising a sphingoid-polyalkylamine conjugate of the formula (I):



wherein

R_1 represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group -C(O)R_5 ;

R_2 and R_5 represent, independently, a branched or linear $\text{C}_{10}\text{-C}_{24}$ alkyl, alkenyl or polyenyl groups;

R_3 and R_4 are independently a group $\text{-C(O)-NR}_6\text{R}_7$, R_6 and R_7 being the same or different for R_3 and R_4 and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or

R_3 is a hydrogen; or

R_3 and R_4 form together with the oxygen atoms to which they are bound a heterocyclic ring comprising $\text{-C(O)-NR}_9\text{-[R}_8\text{-NR}_9\text{]}_m\text{-C(O)-}$, R_8 represents a saturated or unsaturated $\text{C}_1\text{-C}_4$ alkyl and R_9 represents a hydrogen or a polyalkylamine of the formula $\text{-[R}_8\text{-NR}_9\text{]}_n\text{-}$, wherein said R_9 or each alkylamine unit R_8NR_9 may be the same or different in said polyalkylamine; an

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n and **m** are independently an integer from 1 to 10;

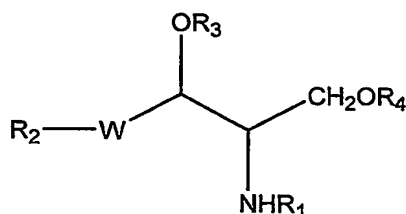
W represents a group selected from $-\text{CH}=\text{CH}-$, $-\text{CH}_2-\text{CH}(\text{OH})-$ or $-\text{CH}_2-\text{CH}_2-$.

23. The composition of Claim 22, further comprising a pharmaceutically acceptable carrier.

24. The composition of Claim 22 or 23, wherein said sphingoid-polyalkylamine conjugate is as defined in any one of Claims 1 to 12.

25. The composition of any one of Claims 22 to 24, comprising a biologically active substance.

10 26. Use of a compound of formula (I):



wherein

R₁ represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group $-\text{C}(\text{O})\text{R}_5$;

15 **R**₂ and **R**₅ represent, independently, a branched or linear C₁₀-C₂₄ alkyl, alkenyl or polyenyl groups;

R₃ and **R**₄ are independently a group $-\text{C}(\text{O})-\text{NR}_6\text{R}_7$, **R**₆ and **R**₇ being the same or different for **R**₃ and **R**₄ and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more
20 amine units in said polyalkylamine may be a quaternary ammonium; or

R₃ is a hydrogen; or

R₃ and **R**₄ form together with the oxygen atoms to which they are bound a heterocyclic ring comprising $-\text{C}(\text{O})-\text{NR}_9-[\text{R}_8-\text{NR}_9]_m-\text{C}(\text{O})-$, **R**₈ represents a saturated or unsaturated C₁-C₄ alkyl and **R**₉ represents a hydrogen or a
25 polyalkylamine of the formula $-\text{R}_8-\text{NR}_9$]_n-, wherein said **R**₉ or each alkylamine

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unit R_8NR_9 may be the same or different in said polyalkylamine; and n and m are independently an integer from 1 to 10;

W represents a group selected from $-CH=CH-$, $-CH_2-CH(OH)-$ or $-CH_2-CH_2-$;

5 as a capturing agent.

27. The use of Claim 26, wherein said compound is as defined in any one of Claims 1 to 12.

28. The use of Claim 26, wherein said compound is prepared as defined in any one of Claims 13 to 21.

10 29. A kit comprising a compound according to any one of Claims 1 to 12, and instructions for use of said compound as a capturing agent.